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Synthesis, characterization, antibacterial and anticancer evaluation of some novel flavone-3-ols

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A series of novel flavonols were synthesized by cyclizing corresponding hydoxy chalcones using Algar flynn Oyamada reaction [1]. The synthesized compounds were characterized by various spectrochemical methods including IR, MASS, NMR spectroscopy. Out of 13 compounds screened for antibacterial activity [2], compound VMF 12 (a bromo derivative) showed activity with an IC_{50} value at 0.16 μM against *S. aureus*. Compounds VMF 1 and VMF 2 (dichloro derivatives) showed activity with IC_{50} at 0.34 and 0.46 μM respectively against *E. coli*. Compound VMF 8 (a dichloro derivative of phenyl substituted γ-benzopyrone) exhibited maximum activity with an IC_{50} value at 0.02 μM concentration against *P. aeruginosa*. None of the compounds were active against *B. subtilis*.

The anti-cancer potency of the test compounds were evaluated by MTT [3] assay for 13 test compounds on two different cell line such as HeLa and V79 cell lines. Compounds such as VMF 7(dichloro derivative) and VMF 8(dichloro derivative) showed IC_{50} at 0.38 μM each, compound VMF 4 (a dichloro derivative) showed IC_{50} at 0.43 μM against standard Cisplatin and Curcumin, showing an IC_{50} of 0.01 and 0.12 μM respectively against HeLa cell lines.

The cytotoxic activity for the test compounds were also performed against V79 cell lines using MTT assay method. Out of the 13 compounds screened, compounds VMF 4 (a dichloro derivative), VMF 9 (a dichloro derivative), VMF 10 (a di bromo derivative), and VMF 13 (a di bromo derivative) showed moderate toxicity with an IC_{50} greater than 1 μM, whereas, other test compounds showed IC_{50} greater than 2 μM against standard Cisplatin and Curcumin with their IC_{50} value at 0.15 and 0.19 μM respectively against V79 cell lines.

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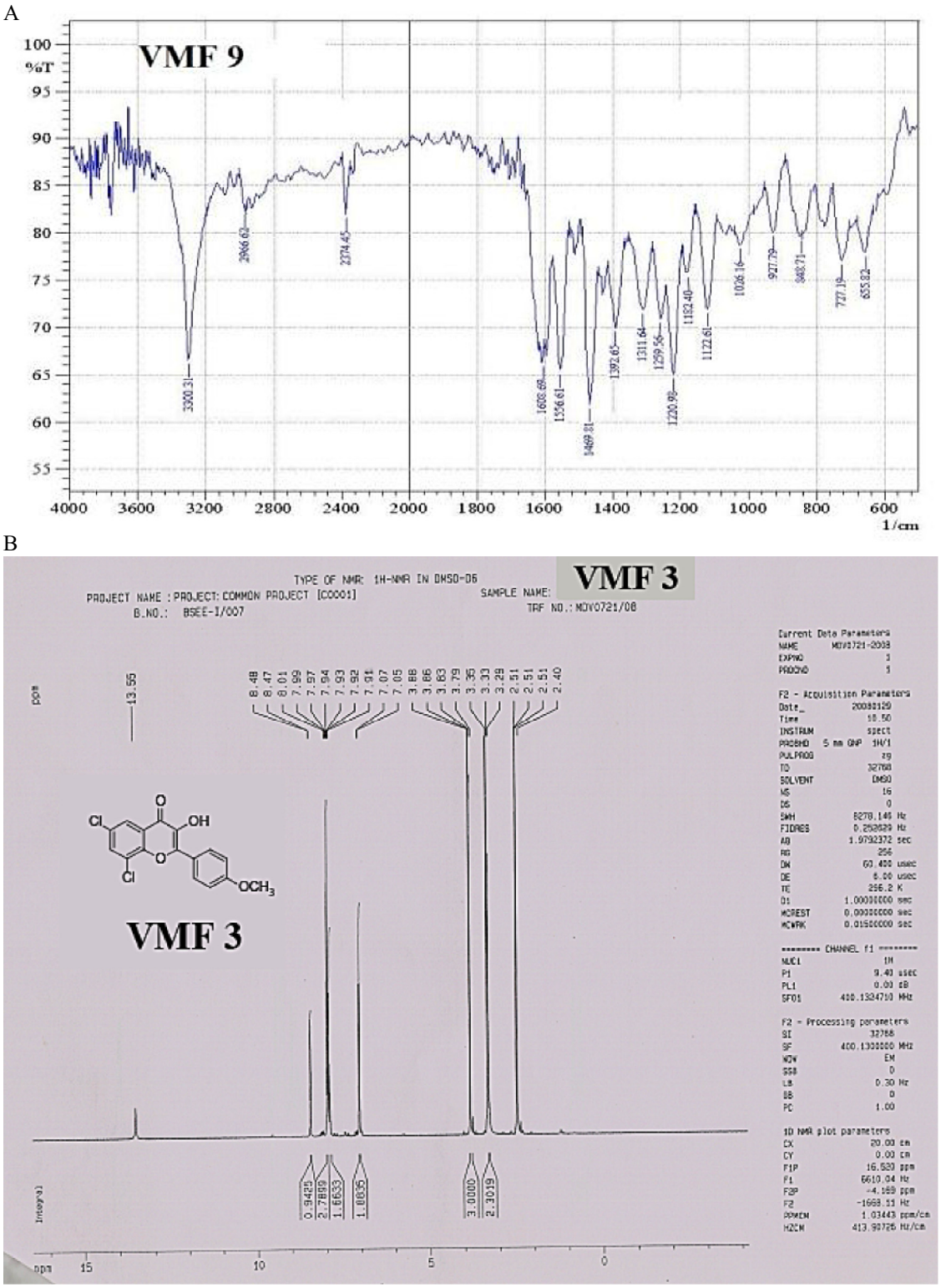

Fig. 1 – (A) IR spectra of compound VMF 9, and (B) NMR spectra of compound VMF 3.

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